STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 116705

TO: Dwayne C Jones
Location: REM-4C70-4a71
Art Unit: 1614
Wednesday, March 24, 2004

Case Serial Number: 10/603677

From: Barb O'Bryen
Location: Biotech-Chem Library
Remsen E01A69
Phone: 571-272-2518

barbara.obryen@uspto.gov

Search Notes

7 view abst and ~~6,620,755~~ for NN OR ~~6,624,177~~ for NO OR ~~6,624,617~~ ~~6,294,674~~ for NN OR ~~5,948,770~~ for NN OR

13 of 25

1 of 25 102 b for for compound, claims 3

14 of 25 3,701,633 3,850,967

2 of 25 for compound of claims for claim treaty arthritis Infl

15 of 25 (compound only)

16 of 25 (compound)

17 of 25 (3,850,968) compound only

3 of 25

23 of 25 ?

5 of 25 (view 5,948,770 for general treaty of NMP inhibitors

6 of 25 (view 6,294,674) general treaty of NMP inhibitors

sira Search and Information Resources Administration

Barb only please

116705

Access DB# _____

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Duayne C. Jones Examiner #: 7099 Date: 11 MAR 04

Art Unit: 1614 Phone Number 30 8-4082-0571 Serial Number: 10/603,677

Mail Box and Bldg/Room Location: Rem 5/C70 Rem 4A71 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: see attached sheet

Inventors (please provide full names): 11

Earliest Priority Filing Date: 1

For Sequence Searches Only Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.

Please search the compound of claim 3 and, then crossing that w/ treating MS (claim 1) and arthritis (claim 2)

STAFF USE ONLY

Searcher: Pam B

Searcher Phone #: _____

Searcher Location: _____

Date Searcher Picked Up: _____

Date Completed: 3-24-04

Searcher Prep & Review Time: 20

Clerical Prep Time: _____

Online Time: 9

Type of Search

NA Sequence (#) _____

AA Sequence (#) _____

Structure (#) 1

Bibliographic _____

Litigation _____

Fulltext _____

Patent Family _____

Other _____

Vendors and cost where applicable

STN 305

Dialog _____

Questel/Orbit _____

Dr Link _____

Lexis/Nexis _____

Sequence Systems _____

WWW/Internet _____

Other (specify) _____

$%^STN;HighlightOn=;**HighlightOff=**;
=> fil reg; d stat que l7; fil capl uspatf toxcenter; s l7
FILE 'REGISTRY' ENTERED AT 14:32:27 ON 24 MAR 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0
DICTIONARY FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0

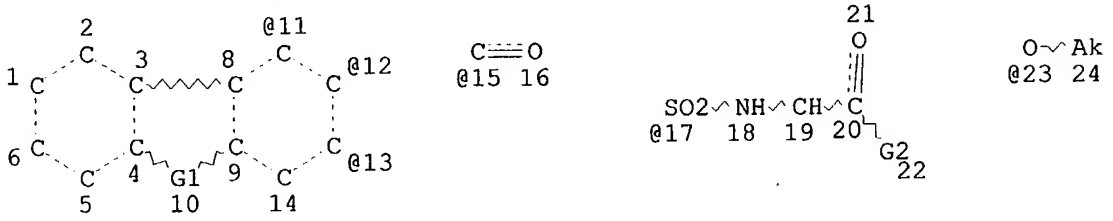
TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

L4 STR



VAR G1=S/CH2/15/N
VAR G2=23/OH/25/27
VPA 17-11/12/13 U
NODE ATTRIBUTES:
CONNECT IS E1 RC AT 24
CONNECT IS E1 RC AT 29
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE
L7 69 SEA FILE=REGISTRY SSS FUL L4

100.0% PROCESSED 2003 ITERATIONS 69 ANSWERS
SEARCH TIME: 00.00.01

FILE 'CAPLUS' ENTERED AT 14:32:28 ON 24 MAR 2004
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FILE 'USPATFULL' ENTERED AT 14:32:28 ON 24 MAR 2004
CA INDEXING COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'TOXCENTER' ENTERED AT 14:32:28 ON 24 MAR 2004
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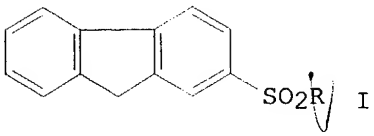
L10 28 L7

=> dup rem l10
PROCESSING COMPLETED FOR L10
L11 25 DUP REM L10 (3 DUPLICATES REMOVED)
ANSWERS '1-17' FROM FILE CAPLUS
ANSWERS '18-23' FROM FILE USPATFULL
ANSWERS '24-25' FROM FILE TOXCENTER

=> d ibib ed abs hitstr 1-23; d iall 24-25

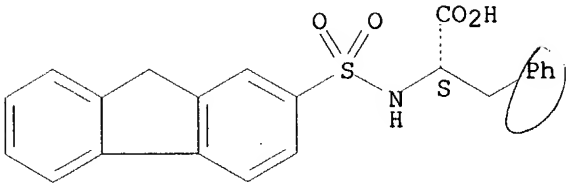
L11 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 1991:43546 CAPLUS
DOCUMENT NUMBER: 114:43546
TITLE: Synthesis of biologically active fluorene-2-sulfonylamino acid and dipeptide derivatives
AUTHOR(S): Abdel-Ghaffar, S. A.; Abbas, Y. A.
CORPORATE SOURCE: Fac. Sci., Al-Azhar Univ., Nasr-City, Egypt
SOURCE: Journal of the Serbian Chemical Society (1990), 55(6), 311-17
CODEN: JSCSEN; ISSN: 0352-5139
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:43546
ED Entered STN: 09 Feb 1991
GI



I

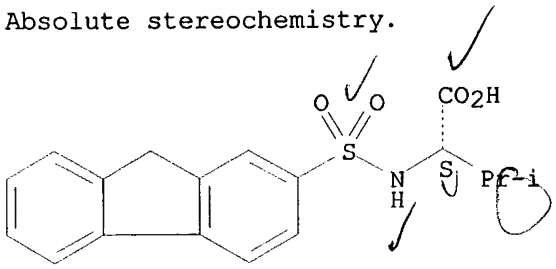
AB The prepn. of several new title derivs. I (R = X-OH, X-OMe, X-NHNH2, 2,4-Cl2C6H3NH, 2,4-Br2C6H3NH; X = Ala, Val, Leu, Phe, Ala-Val, Val-Leu, Leu-Ala, Ala-Phe Val-Phe) is described. Eighteen I were active against a no. of microorganisms.
IT **40356-17-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., esterification, and bactericidal activity of)
RN 40356-17-0 CAPLUS
CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



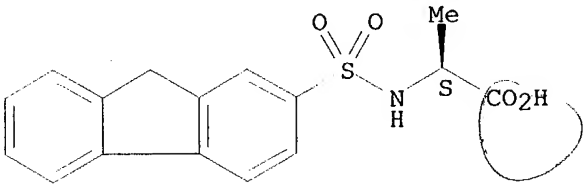
IT **32945-11-2P 56211-81-5P 131520-62-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn., esterification, peptide coupling, and bactericidal activity of)
RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



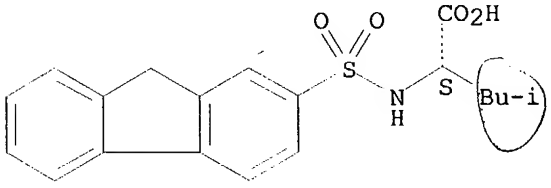
RN 56211-81-5 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 131520-62-2 CAPLUS
CN L-Leucine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

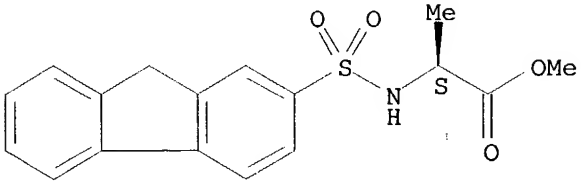
Absolute stereochemistry.



IT **131520-69-9P 131520-70-2P 131520-71-3P**
131520-72-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn., hydrazinolysis, and bactericidal activity of)
RN 131520-69-9 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)-, methyl ester (9CI) (CA INDEX

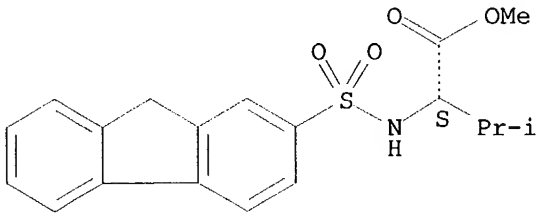
NAME)

Absolute stereochemistry.



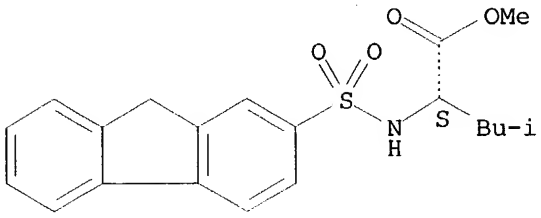
RN 131520-70-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



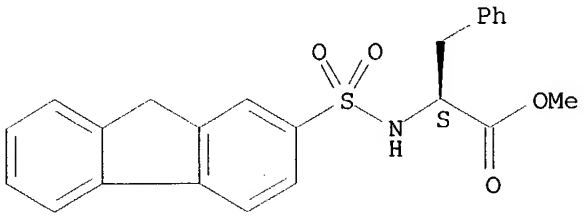
RN 131520-71-3 CAPLUS
CN L-Leucine, N-(9H-fluoren-2-ylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



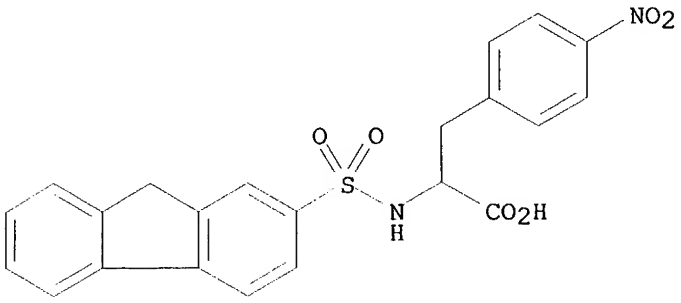
RN 131520-72-4 CAPLUS
CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



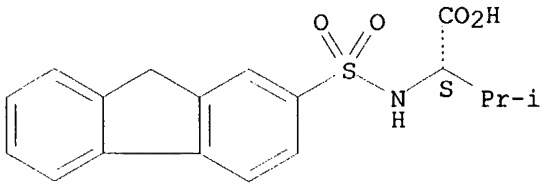
L11 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 1975:508314 CAPLUS
DOCUMENT NUMBER: 83:108314
TITLE: Syntheses of amino acid derivatives and their biological activities. I. Antiinfluenza activity
AUTHOR(S): Kanao, Seizo; Toyoda, Takeshi; Suyama, Tadashi; Toyoshima, Shigeshi
CORPORATE SOURCE: Cent. Res. Lab., Ajinomoto Co., Inc., Kawasaki, Japan
SOURCE: Yakugaku Zasshi (1975), 95(4), 397-401
CODEN: YKKZAJ; ISSN: 0031-6903
DOCUMENT TYPE: Journal
LANGUAGE: Japanese
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Among 325 amino acid derivs. tested for antiviral activity, 39 of them had some activity, while the following 5 had appreciable activity: N-benzyl-L-valine [15363-84-5], N-furfuryl-L-phenylalanine [33014-71-0], N-furfuryl-4-nitro-L-phenylalanine [40356-14-7], N-2-fluorenesulfonyl-.beta.-alanine (I) [32869-90-2], and N-.beta.-naphthylaminomethyl-L-alanine [32945-07-6]. These compds. were effective when administered to mice even 72 hr after viral infection. I had both antiviral and antiinflammatory activities. The synthesis of 7 amino acid derivs. are described.
IT **32925-03-4 32945-11-2 56211-81-5 56211-82-6 56211-83-7**
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(antiviral activity and toxicity of)
RN 32925-03-4 CAPLUS
CN Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)



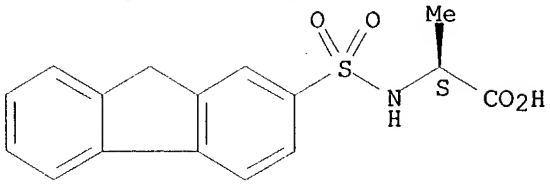
RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



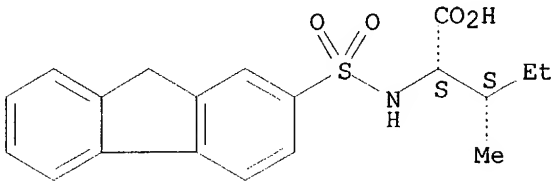
RN 56211-81-5 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

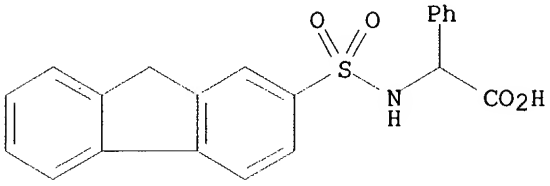


RN 56211-82-6 CAPLUS
CN L-Isoleucine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 56211-83-7 CAPLUS
CN Benzeneacetic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]- (9CI) (CA INDEX NAME)



L11 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 1973:136657 CAPLUS
DOCUMENT NUMBER: 78:136657
TITLE: Antiviral, antiinflammatory, and antitumoral N-substituted amino acids
INVENTOR(S): Toyoshima, Shigeshi; Kanao, Sizo; Toyoda, Takeshi; Suyama, Tadashi; Shimizu, Akira
PATENT ASSIGNEE(S): Ajinomoto Co., Inc.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2236876	A1	19730301	DE 1972-2236876	19720727
DE 2236876	B2	19800604		
DE 2236876	C3	19810212		
JP 48028612	A2	19730416	JP 1971-63252	19710819
JP 48029723	A2	19730419	JP 1971-63250	19710819
JP 52018176	B4	19770520		
JP 48029714	A2	19730419	JP 1971-63251	19710819

Searched by Barb O'Bryen, STIC 571-272-2518

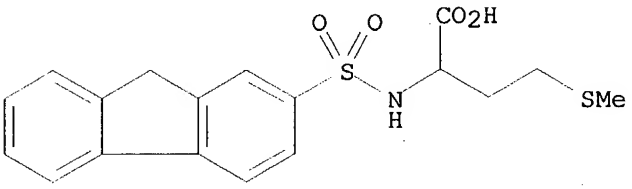
NL 7211361	A	19730221	NL 1972-11361	19720818
FR 2150803	A1	19730413	FR 1972-29667	19720818
PRIORITY APPLN. INFO.:			JP 1971-63250	19710819
			JP 1971-63251	19710819
			JP 1971-63252	19710819
			JP 1971-6352	19710819

ED Entered STN: 12 May 1984

AB N-Substituted amino acids (17 compds.) were prepd. N-.beta.-Naphthyl-aminomethyl-L-leucine, Et, N-.beta.-naphthylaminomethylearbamate, and N-(2-fluorenylsulfonyl)-DL-methionine were virucidal against influenza A-2/Adachi/Tokyo 57 at .apprx.20% of their LD30. N-Lauroyl-L-leucine had antiinflammatory activity comparable to hydrocortisone. N-Ethoxycarbonylaminomethyl-DL-isoleucine, N-myristoyl-L-isoleucine, N-.beta.-naphthalenesulfonyl-DL-tryptophan, and N-propionyl-L-valine were as effective as mitomycin C at 10% of their LD30 against Ehrlich ascites and Sarcoma 180.

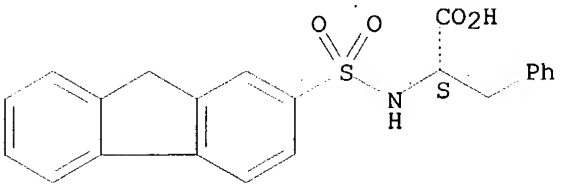
IT **40356-16-9P 40356-17-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 40356-16-9 CAPLUS
CN Methionine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)



RN 40356-17-0 CAPLUS
CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER:	2003:234336 CAPLUS
DOCUMENT NUMBER:	139:85631
TITLE:	Peptides to peptidomimetics: Towards the design and synthesis of bioavailable inhibitors of oligosaccharyl transferase
AUTHOR(S):	Weerapana, Eranthie; Imperiali, Barbara
CORPORATE SOURCE:	Department of Chemistry, Massachusetts Institute of Technology, Cambridge, MA, 02139, USA
SOURCE:	Organic & Biomolecular Chemistry (2003), 1(1), 93-99 CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER:	Royal Society of Chemistry
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 139:85631

ED Entered STN: 26 Mar 2003

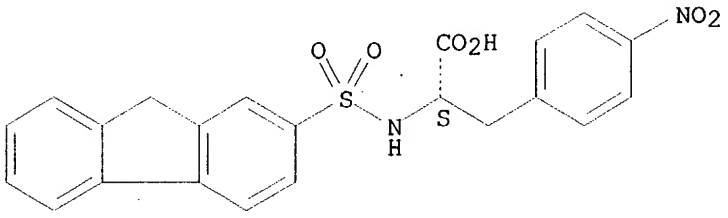
AB Oligosaccharyl transferase (OT) is the enzyme responsible for asparagine-linked glycosylation in the lumen of the endoplasmic reticulum, which is a subcellular compartment within eukaryotic cells. Inhibition of this enzyme within a cellular environment would provide a valuable investigative tool for glycobiol. Due to the limitations of peptides, none of the existing peptide-based inhibitors of OT demonstrate activity in cell-based enzyme assays. We report the design, synthesis and preliminary biol. characterization of a family of peptidomimetics that inhibit OT with Ki values in the nanomolar range. The hexapeptide Bz-Dab-Ala-Thr-Val-Thr-Nph-NH2 (Dab = 2,4-diaminobenzoic acid, Nph = p-nitrophenylalanine, Ki = 69 nM) was used as the prototype for the design of bioavailable inhibitors. Several aminobenzoic acid spacer groups were evaluated as potential isosteres of the Val-Thr dipeptide unit and the peptidomimetic incorporating 3-aminobenzoic acid proved to inhibit OT with similar potency to the parent compd. (Ki = 84 nM). Further modifications explored the effects of size, hydrophobicity and conformational rigidity on enzyme affinity. This study yielded a family of potent non-peptidic inhibitors that are viable candidates for the in vivo inhibition of OT.

IT **52525-95-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of peptidomimetics contg. aminobenzoic acid isostere as inhibitors of oligosaccharyl transferase)

RN 52525-95-8 CAPLUS

CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:402296 CAPLUS
DOCUMENT NUMBER: 129:76499
TITLE: Method for treating and preventing heart failure and ventricular dilation
INVENTOR(S): Peterson, Joseph T., Jr.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 178 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825597	A2	19980618	WO 1997-US21934	19971202
WO 9825597	A3	20001012		

W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,

GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

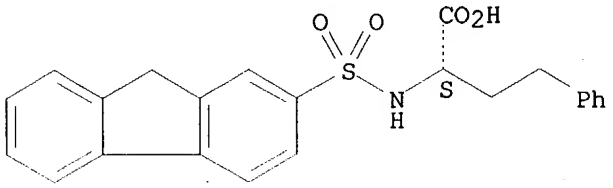
AU 9855906	A1	19980703	AU 1998-55906	19971202
AU 741768	B2	20011206		
BR 9714385	A	20000516	BR 1997-14385	19971202
EP 1028716	A1	20000823	EP 1997-952246	19971202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
NZ 334897	A	20010223	NZ 1997-334897	19971202
JP 2001526631	T2	20011218	JP 1998-526758	19971202
ZA 9711004	A	19981005	ZA 1997-11004	19971208
US 5948780	A	19990907	US 1997-987167	19971208
NO 9902769	A	19990809	NO 1999-2769	19990608

PRIORITY APPLN. INFO.: US 1996-32631P P 19961209
WO 1997-US21934 W 19971202

different US

OTHER SOURCE(S): MARPAT 129:76499
ED Entered STN: 01 Jul 1998
AB Matrix metalloproteinase inhibitors are useful for preventing and treating heart failure, and ventricular dilation in mammals. Thus, 2-(4'-bromobiphenyl-4-sulfonylamino)-3-methylbutyric acid was effective in protecting pigs in the pacing-induced heart failure model.
IT **204769-92-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of matrix metalloproteinase inhibitors in treating heart failure and ventricular dilation)
RN 204769-92-6 CAPLUS
CN Benzenebutanoic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:175917 CAPLUS
DOCUMENT NUMBER: 128:230699
TITLE: Preparation of dibenzofuransulfonyl and related amino acids for inhibition of matrix metalloproteinases
INVENTOR(S): Picard, Joseph Armand; Sliskovic, Drago Robert
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Picard, Joseph Armand; Sliskovic, Drago Robert
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809957	A1	19980312	WO 1997-US15444	19970902
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

AU 9741770	A1	19980326	AU 1997-41770	19970902	
AU 736347	B2	20010726			
EP 929542	A1	19990721	EP 1997-939751	19970902	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
BR 9712794	A	19991214	BR 1997-12794	19970902	
NZ 333064	A	20001124	NZ 1997-333064	19970902	
JP 2002514180	T2	20020514	JP 1998-512818	19970902	
ZA 9707920	A	19980302	ZA 1997-7920	19970903	
HR 970474	B1	20021031	HR 1997-970474	19970904	
US 6294674	B1	20010925	US 1999-254403	19990302	

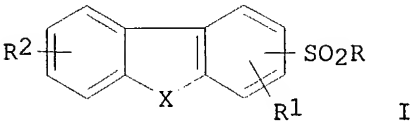
PRIORITY APPLN. INFO.:

US 1996-25063P	P	19960904
US 1997-55714P	P	19970807
WO 1997-US15444	W	19970902

OTHER SOURCE(S): MARPAT 128:230699

ED Entered STN: 25 Mar 1998

GI



I

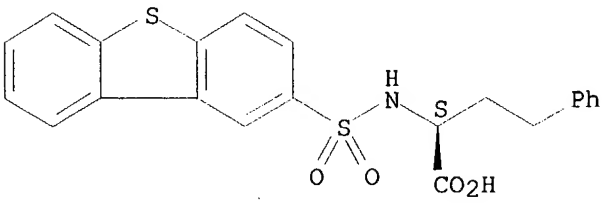
AB Heterocyclyl sulfonyl amino acids I (R = unnatural amino acid; X = O, S, SO, SO2, CO, NH, alkyl- or alkylphenylimino; R1, R2 = H, alkyl, Ph, NO2, halo, alkoxy, CN, etc.) or their pharmaceutically acceptable salts, esters, amides, and prodrugs were prepd. as matrix metalloproteinases inhibitors. Thus, 6-[2-(4-chlorophenoxy)-2-methylpropionylamino]-2-(dibenzofuran-2-ylsulfonylamino)hexanoic acid, prepd. by acylation of 6-amino-2-(dibenzofuran-2-ylsulfonylamino)hexanoic acid Me ester hydrobromide, showed IC50 >100 .mu.M against MMP-1 and MMP-7.

IT **204769-50-6P 204769-91-5P 204769-92-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dibenzofuransulfonyl and related amino acids for inhibition of matrix metalloproteinases)

RN 204769-50-6 CAPLUS

CN Benzenebutanoic acid, .alpha.-[(2-dibenzothienylsulfonyl)amino]-, (S)- (9CI) (CA INDEX NAME)

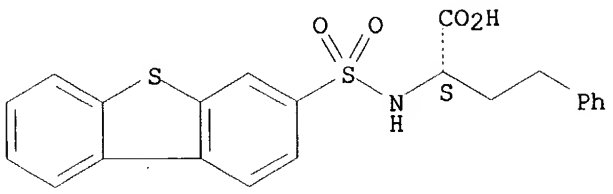
Absolute stereochemistry.



RN 204769-91-5 CAPLUS

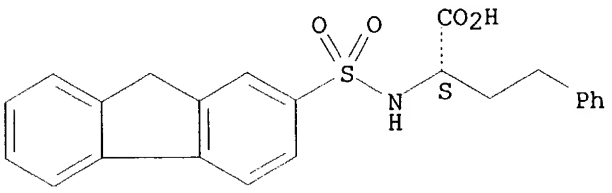
CN Benzenebutanoic acid, .alpha.-[(3-dibenzothienylsulfonyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204769-92-6 CAPLUS
CN Benzenebutanoic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:175896 CAPLUS
DOCUMENT NUMBER: 128:217278
TITLE: Preparation of dibenzofuransulfonamides as matrix metalloproteinase (MMP) inhibitors and their therapeutic uses
INVENTOR(S): O'Brien, Patrick Michael; Picard, Joseph Armand; Sliskovic, Drago Robert; White, Andrew David
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; O'Brien, Patrick Michael; Picard, Joseph Armand; Sliskovic, Drago Robert; White, Andrew David
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9809934	A1	19980312	WO 1997-US14859	19970822
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2256716	AA	19980312	CA 1997-2256716	19970822
AU 9741595	A1	19980326	AU 1997-41595	19970822
AU 735013	B2	20010628		
EP 931045	A1	19990728	EP 1997-939527	19970822
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				

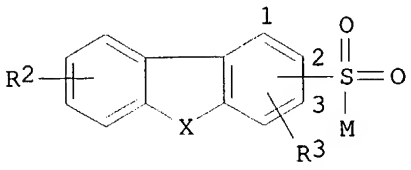
applicants' priority date

BR 9711988	A	19990824	BR 1997-11988		19970822
NZ 333063	A	20001222	NZ 1997-333063		19970822
JP 2000517341	T2	20001226	JP 1998-512709		19970822
ZA 9707916	A	19980303	ZA 1997-7916		19970903
US 6624177	B1	20030923	US 1999-254384		19990302
US 2003032665	A1	20030213	US 2002-162518		20020604
US 6620835	B2	20030916			
US 2004029945	A1	20040212	US 2003-603677		20030625

PRIORITY APPLN. INFO.:

US 1996-25062P	P	19960904
US 1997-55713P	P	19970807
WO 1997-US14859	W	19970822
US 1999-254384	A3	19990302
US 2002-162518	A3	20020604

OTHER SOURCE(S): MARPAT 128:217278
ED Entered STN: 25 Mar 1998
GI



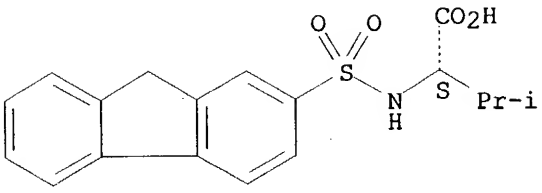
I

AB A method of inhibiting MMP is claimed comprising administering to a patient a therapeutically effective amt. of dibenzofuransulfonamides [I; M = natural L-.alpha.-amino acid deriv. NHCHRCOR1; X = O, S, S(O)n, CH2, CO, NR4; R = side chain of a natural amino acid; R1 = OH, C1-5 alkoxy, NHOR5; R2, R3 = H, C1-5 alkyl, nitrophenyl, halo, cyano, etc.; R4 = H, C1-6 alkyl(phenyl); R5 = H, C1-5 alkyl; n = 0-2] including their pharmaceutically acceptable salts, esters, amides and prodrugs. A method of treating diseases in which matrix metalloproteinases are involved, e.g., multiple sclerosis, atherosclerotic plaque rupture, restenosis, aortic aneurism, heart failure, periodontal disease, corneal ulceration, burns, decubital ulcers, chronic ulcers or wounds, cancer metastasis, tumor angiogenesis, arthritis, or other autoimmune or inflammatory diseases dependent upon tissue invasion by leukocytes, and 26 specific sulfonamides are also claimed. Thus, dibenzofuran-2-sulfonyl chloride was amidated with L-leucine tert-Bu ester and the resulting tert-Bu L-2-(dibenzofuran-2-sulfonylamino)-4-methylpentanoate (64% yield) was hydrolyzed with CF3CO2H/anisole in CH2Cl2 to give 33% L-2-(dibenzofuran-2-sulfonylamino)-4-methylpentanoic acid which inhibited gelatinase A and stromelysin-1 with IC50 of 0.32 and 1.18, resp. (units not given).

IT **32945-11-2P 204440-69-7P 204440-70-0P**
204440-71-1P 204440-91-5P 204440-92-6P
204440-93-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of dibenzofuransulfonamides as matrix metalloproteinase inhibitors and their therapeutic uses)

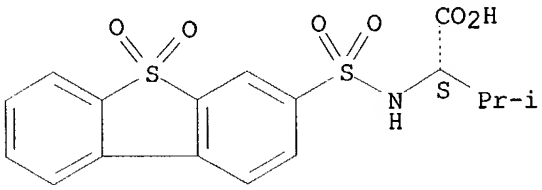
RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



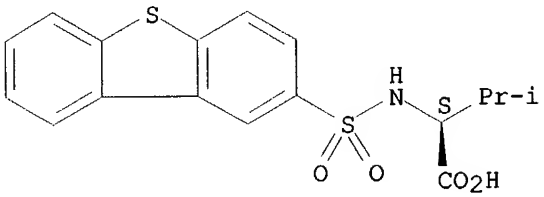
RN 204440-69-7 CAPLUS
CN L-Valine, N-[(5,5-dioxido-3-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



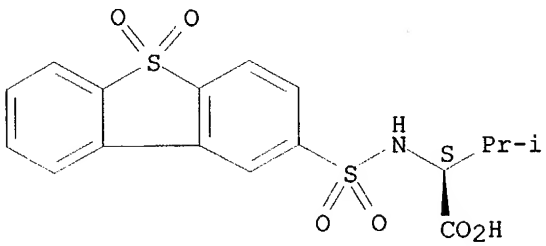
RN 204440-70-0 CAPLUS
CN L-Valine, N-(2-dibenzothienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



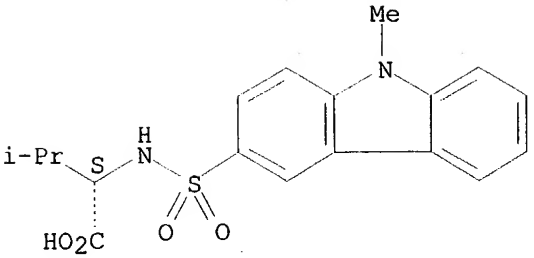
RN 204440-71-1 CAPLUS
CN L-Valine, N-[(5,5-dioxido-2-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



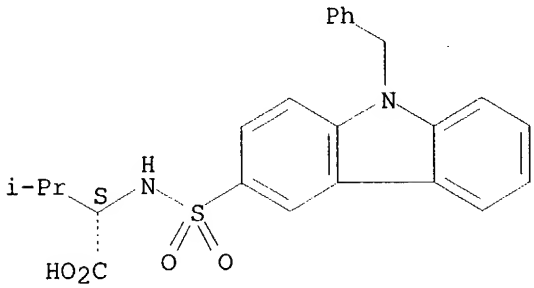
RN 204440-91-5 CAPLUS
CN L-Valine, N-[(9-methyl-9H-carbazol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



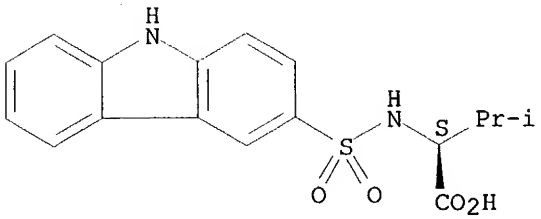
RN 204440-92-6 CAPLUS
CN L-Valine, N-[[9-(phenylmethyl)-9H-carbazol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204440-93-7 CAPLUS
CN L-Valine, N-(9H-carbazol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:784844 CAPLUS
DOCUMENT NUMBER: 130:110586
TITLE: The synthesis and biological properties of N- and O-substituted amino acids
AUTHOR(S): Straukas, Juozapas; Dirvianskyte, Nijole; Palaima, Algirdas
CORPORATE SOURCE: Institute of Biochemistry, Vilnius, 2600, Lithuania
SOURCE: Chemija (1998), (2), 160-164
CODEN: CHMJES; ISSN: 0235-7216
PUBLISHER: Academia
DOCUMENT TYPE: Journal
LANGUAGE: English
ED Entered STN: 15 Dec 1998
AB The synthesis and biol. properties of nonproteinogenic amino acids derivs.

based on DL-serine, threo-DL-phenylserine, threo-DL-m-nitrophenylserine, 2-methoxy-5-nitro-DL-phenylalanine, 4-methoxy-3-nitro-DL-phenylalanine and .vepsiln.-aminocaproic acid are reported. Some derivs. of threo-DL-phenylserine exhibited antiviral activity. Thus, O-phenylacetyl-threo-DL-phenylserine Et ester hydrochloride completely inhibited the reprodn. of vesicular stomatitis virus, influenza virus A2 and type 23 adenovirus. N-(p-Brombenzenesulfonyl)-threo-DL-phenylserine Et ester and N-(2-fluorenylidene)-threo-DL-phenylserine Et ester completely stop the reprodn. of enterovirus Coxsackie A13.

IT **178633-88-0P 178633-89-1P 219642-36-1P 219642-37-2P**

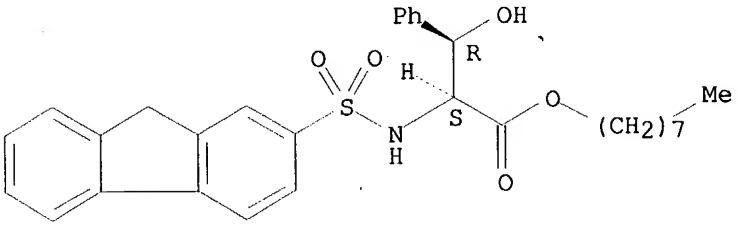
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antiviral activities of N- and O-substituted amino acids)

RN 178633-88-0 CAPLUS

CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-, octyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

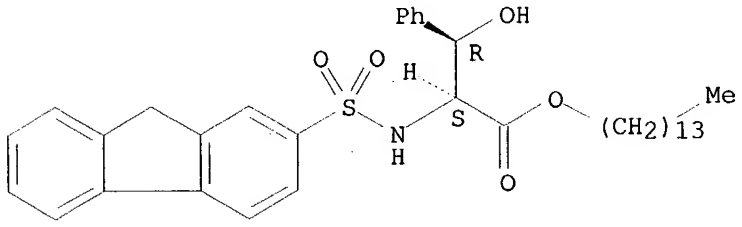
Relative stereochemistry.



RN 178633-89-1 CAPLUS

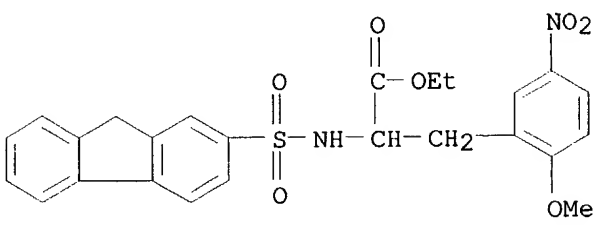
CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-, tetradecyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

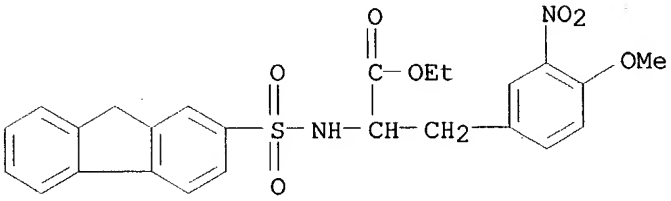


RN 219642-36-1 CAPLUS

CN Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-2-methoxy-5-nitro-, ethyl ester (9CI) (CA INDEX NAME)



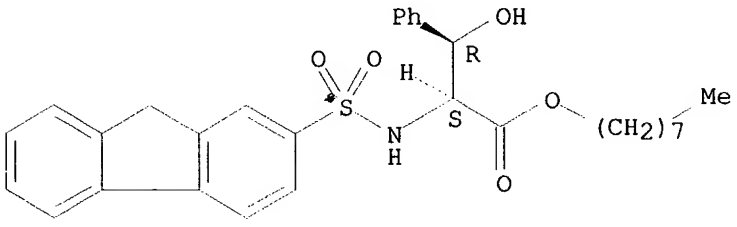
RN 219642-37-2 CAPLUS
CN Tyrosine, N-(9H-fluoren-2-ylsulfonyl)-O-methyl-3-nitro-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:340464 CAPLUS
DOCUMENT NUMBER: 125:76320
TITLE: Synthesis and antiviral activity of N- and O-substituted amino acids
AUTHOR(S): Straukas, Yu.; Dirvyanskite, N.; Yankauskas, R.; Yavorovskaya, V. E.; Evstropov, A. N.; Kiseleva, V. N.
CORPORATE SOURCE: Inst. of Biochemistry, Vilnius, Lithuania
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1996), 30(4), 18-21
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian
ED Entered STN: 12 Jun 1996
AB Twenty-six amino acid derivs. were prepd. from threo-DL-phenylserine (I), DL-serine, threo-DL-m-nitrophenylserine, 2-methoxy-5-nitro-DL-phenylalanine, 4-methoxy-3-nitro-DL-phenylalanine, and .epsilon.-aminocaproic acid. Satd. carboxylic or arylsulfonic acid residues or fluorenylidene group were introduced into the mols. of amino acids and their alkyl esters. Derivs. of I had the highest antiviral activity.
IT **178633-88-0P 178633-89-1P 178633-90-4P 178633-91-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antiviral activity of N- and O-substituted amino acids)
RN 178633-88-0 CAPLUS
CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-, octyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

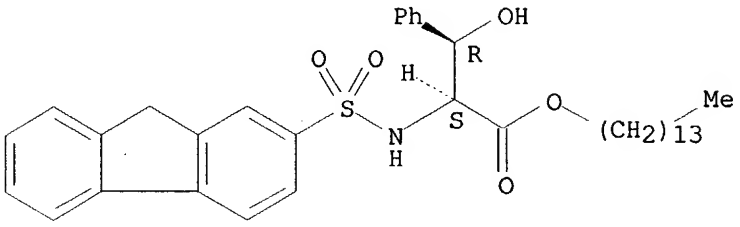
Relative stereochemistry.



RN 178633-89-1 CAPLUS
CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-, tetradecyl

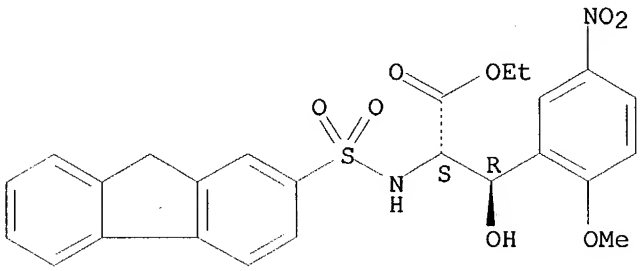
ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



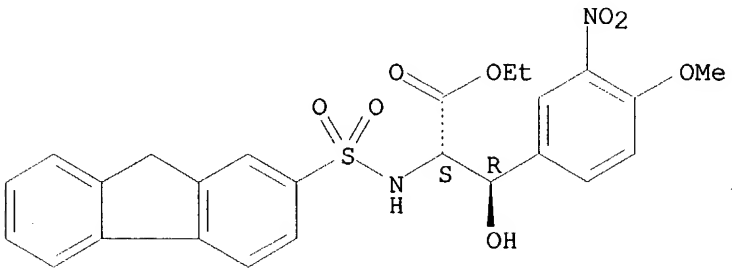
RN 178633-90-4 CAPLUS
CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-2-methoxy-5-nitro-, ethyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 178633-91-5 CAPLUS
CN D-Tyrosine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-hydroxy-O-methyl-3-nitro-, ethyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



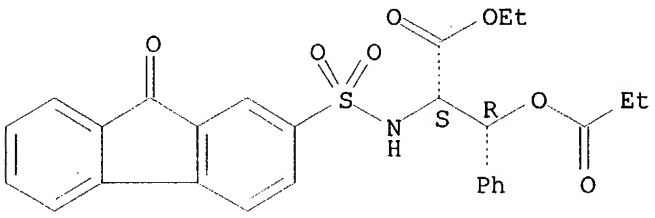
L11 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:902595 CAPLUS
DOCUMENT NUMBER: 123:306554
TITLE: N-2-fluorenonesulfonyl derivatives of threo-DL-phenylserine showing antiviral activity with respect to herpes simplex virus type I
INVENTOR(S): Straukas, Yu. Yu.; Bulko, R. E.; Yavorovskaya, V. E.; Evstropov, A. N.; Galegov, G. A.; Pravdina, N. F.
PATENT ASSIGNEE(S): Institut Biokhimii AN LitSSR, Liechtenstein; Novosibirskij Gosudarstvennyj Meditsinskij Institut; Institut Virusologii im. D.I. Ivanovskogo
SOURCE: U.S.S.R. From: Izobreteniya 1993, (47-8), 173.

CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1405269	A1	19931230	SU 1986-4128762	19860709

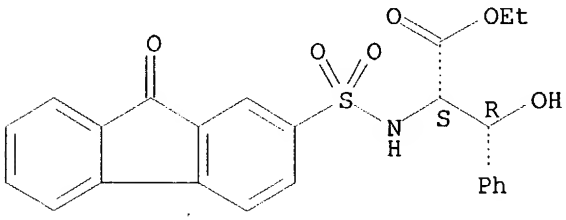
PRIORITY APPLN. INFO.: SU 1986-4128762 19860709
ED Entered STN: 08 Nov 1995
AB Title only translated.
IT **169944-28-9 169944-29-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of fluorenonesulfonyl derivs. of threo-phenylserine against herpes simplex virus)
RN 169944-28-9 CAPLUS
CN D-Phenylalanine, N-[(9-oxo-9H-fluoren-2-yl)sulfonyl]-.beta.-(1-oxopropoxy)-, ethyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 169944-29-0 CAPLUS
CN D-Phenylalanine, .beta.-hydroxy-N-[(9-oxo-9H-fluoren-2-yl)sulfonyl]-, ethyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



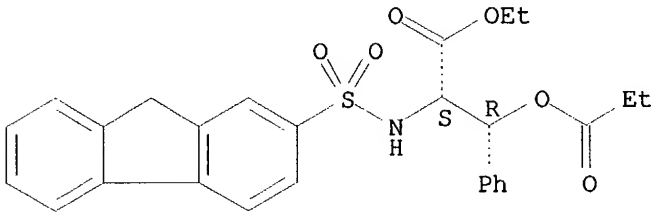
L11 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:902594 CAPLUS
DOCUMENT NUMBER: 123:306553
TITLE: N-2-Fluorenesulfonyl-O-propionyl-threo-DL-phenylserine ethyl ester showing antiviral activity with respect to Echo 11 virus
INVENTOR(S): Straukas, Yu. Yu.; Bulko, R. E.; Yavorovskaya, V. E.; Evstropov, A. I.
PATENT ASSIGNEE(S): Institut Biokhimii AN LitSSR, Russia; Novosibirskij Gosudarstvennyj Meditsinskij Institut
SOURCE: U.S.S.R. From: Izobreteniya 1993, (47-8), 173.
CODEN: URXXAF

DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 1363764	A1	19931230	SU 1986-4015748	19860131

PRIORITY APPLN. INFO.: SU 1986-4015748 19860131
ED Entered STN: 08 Nov 1995
AB Title only translated.
IT **169944-27-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(virucidal activity of phenylserine Et ester deriv. against echo 11 virus)
RN 169944-27-8 CAPLUS
CN D-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-.beta.-(1-oxopropoxy)-, ethyl ester, (.beta.S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

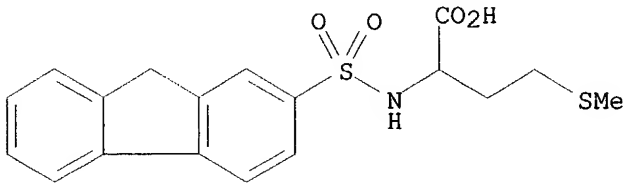


L11 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1980:568614 CAPLUS
DOCUMENT NUMBER: 93:168614
TITLE: N-(2-fluorenylsulfonyl) amino acids
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55004741	B4	19800131	JP 1978-105936	19780830
JP 54048745	A2	19790417		

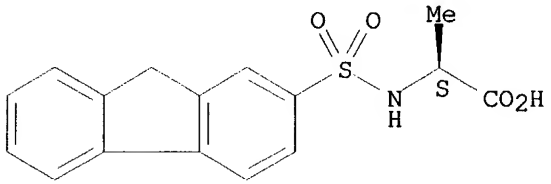
PRIORITY APPLN. INFO.: JP 1978-105936 19780830
ED Entered STN: 12 May 1984
AB N-(2-Fluorenylsulfonyl) amino acid, useful as virucides, were prepd. from 2-fluorenesulfonyl chloride and the appropriate amino acids. Thus, 2.7 g L-alanine in 10% aq. NaOH soln. was treated with 8.8 g 2-fluorenesulfonyl chloride at 50.degree. for 30 min to give 6.8% N-(2-fluorenylsulfonyl)-L-alanine. The N-(2-fluorenylsulfonyl) derivs. of L-isoleucine, DL-tryptophan, DL-methionine, and DL-phenylglycine were also prepd.
IT **40356-16-9P 56211-81-5P 56211-82-6P**
56211-83-7P 61447-77-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 40356-16-9 CAPLUS

CN Methionine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)



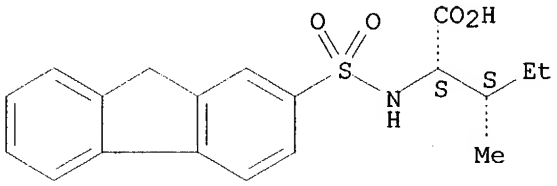
RN 56211-81-5 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

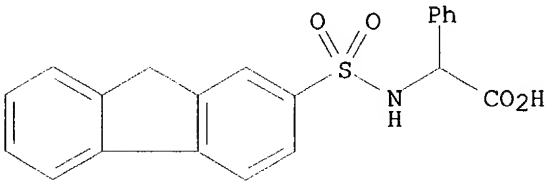


RN 56211-82-6 CAPLUS
CN L-Isoleucine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

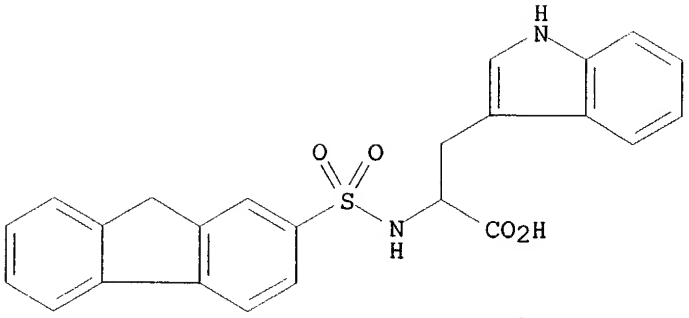
Absolute stereochemistry.



RN 56211-83-7 CAPLUS
CN Benzeneacetic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 61447-77-6 CAPLUS
CN Tryptophan, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)



L11 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1979:152610 CAPLUS
DOCUMENT NUMBER: 90:152610
TITLE: N2-Arylsulfonyl-L-argininamides
INVENTOR(S): Okamoto, Shosuke; Kikumoto, Ryoji; Tamao, Yoshikuni; Okubo, Kazuo; Tezuka, Toru; Tonomura, Shinji; Hijikata, Akiko
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Ger. Offen., 147 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 15
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2801478	A1	19780720	DE 1978-2801478	19780113
DE 2801478	C2	19910131		
US 4066773	A	19780103	US 1977-760745	19770119
US 4073913	A	19780214	US 1977-760668	19770119
US 4093712	A	19780606	US 1977-760672	19770119
US 4097472	A	19780627	US 1977-760676	19770119
US 4101653	A	19780718	US 1977-760929	19770119
US 4097591	A	19780627	US 1977-776195	19770310
JP 54003037	A2	19790111	JP 1977-66508	19770606
JP 60010028	B4	19850314		
US 4125604	A	19781114	US 1977-804334	19770607
US 4131673	A	19781226	US 1977-804368	19770607
US 4140681	A	19790220	US 1977-804331	19770607
IL 53685	A1	19851231	IL 1977-53685	19771223
AU 7832289	A1	19790719	AU 1978-32289	19780109
AU 522320	B2	19820527		
ZA 7800123	A	19790829	ZA 1978-123	19780109
FI 7800073	A	19780720	FI 1978-73	19780110
FI 72316	B	19870130		
FI 72316	C	19870511		
ES 466706	A2	19781016	ES 1978-466706	19780110
NL 7800448	A	19780721	NL 1978-448	19780113
NL 187746	B	19910801		
NL 187746	C	19920102		
SE 7800512	A	19780720	SE 1978-512	19780117
SE 452624	B	19871207		
SE 452624	C	19880317		
HU 22709	O	19820628	HU 1978-MI626	19780117
HU 180265	B	19830228		
DK 7800263	A	19780720	DK 1978-263	19780118
DK 150521	B	19870316		

DK	150521	C	19871019		
NO	7800191	A	19780720	NO 1978-191	19780118
NO	158681	B	19880711		
NO	158681	C	19881019		
FR	2378004	A2	19780818	FR 1978-1368	19780118
FR	2378004	B2	19850913		
GB	1596971	A	19810903	GB 1978-2063	19780118
PL	123267	B1	19821030	PL 1978-204063	19780118
CH	633773	A	19821231	CH 1978-519	19780118
CH	648293	A	19850315	CH 1978-4530	19780118
SU	1181539	A3	19850923	SU 1978-2566652	19780118
BE	863092	A4	19780719	BE 1978-184463	19780119
ES	466705	A2	19790816	ES 1978-466705	19780119
DD	137352	C	19790829	DD 1978-203302	19780119
AT	7800399	A	19820515	AT 1978-399	19780119
AT	369356	B	19821227		
CS	236757	B2	19850515	CS 1978-381	19780119
JP	62014548	B4	19870402	JP 1978-4529	19780119
JP	54100342	A2	19790808		
US	4173630	A	19791106	US 1978-902855	19780504
SU	938739	A3	19820623	SU 1979-2776611	19790618
AT	8003284	A	19820515	AT 1980-3284	19800623
AT	369357	B	19821227		
AT	8003285	A	19820515	AT 1980-3285	19800623
AT	369358	B	19821227		
CS	236772	B2	19850515	CS 1981-2011	19810319
CS	236773	B2	19850515	CS 1981-2012	19810319
FI	8402539	A	19840621	FI 1984-2539	19840621
FI	74455	B	19871030		
FI	74455	C	19880208		

PRIORITY APPLN. INFO.:

US	1977-760668	19770119
US	1977-760672	19770119
US	1977-760676	19770119
US	1977-760745	19770119
US	1977-760929	19770119
US	1977-776195	19770310
JP	1977-66508	19770606
US	1977-804331	19770607
US	1977-804368	19770607
JP	1974-128774	19741108
JP	1974-128775	19741108
JP	1974-136695	19741129
JP	1974-136697	19741129
JP	1975-23268	19750225
JP	1975-23635	19750226
JP	1975-26768	19750305
JP	1975-29357	19750311
JP	1975-29358	19750311
US	1975-62	239 19751014
US	1975-622390	19751014
US	1975-638985	19751209
US	1976-646522	19760105
US	1976-649219	19760114
US	1976-653217	19760128
US	1976-656014	19760206
US	1976-656870	19760210
US	1976-669743	19760324
US	1976-671436	19760329
US	1976-671568	19760329
US	1976-703704	19760708
US	1976-707536	19760722
US	1976-713486	19760811
US	1976-723474	19760914

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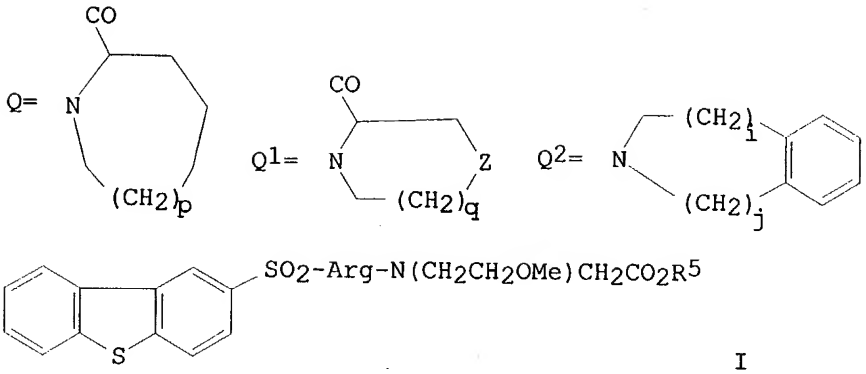
US 1976-728051	19760930
US 1977-760677	19770119
FI 1978-73	19780110
CH 1978-519	19780118
AT 1978-399	19780119
CS 1978-381	19780119

ED Entered STN: 12 May 1984
GI



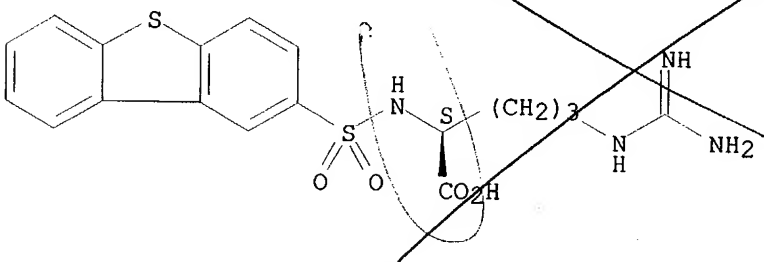
I

AB RSO2-Arg-X-OR1 [R = substituted Ph, substituted naphthyl, heterocyclic group; X = NR2(CH2)nCO (R2 = aliph., aralkyl, carbocyclic, or heterocyclic group; n = 1-3), NR3CHR4(CH2)mCO (R3 = H or R2; R4 = C1-10 alkyl, substituted C1-10 alkyl, C1-12 aralkyl, substituted benzyl; m = 0-2), substituted piperidinecarboxylic acid residue, Q (p = 1-4), Q1 (Z = O, S, SO; q = 0, 1), Q2 (i and j = 0-2 where i + j = 1 or 2); R1 = H, C1-10 alkyl, C6-10 aryl, C7-12 aralkyl] and their salts (.apprx.135 compds.) were prepd. as thrombin inhibitors. Thus, arginine was acylated with 2-dibenzothiophenesulfonyl chloride to give the N2-sulfonyl deriv., which was converted to its acid chloride and amidated with MeOCH2CH2-Gly-OEt to give dipeptide I (R5 = Et) (II). II was sapond. to give I (R5 = H) (III). III at 0.45 .mu.M doubled blood coagulation time.

IT **69129-22-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acid chlorination of)

RN 69129-22-2 CAPLUS
CN L-Arginine, N2-(2-dibenzothienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1978:51165 CAPLUS
DOCUMENT NUMBER: 88:51165
TITLE: Pharmaceutical N-sulfonylaminocarboxylic acids
INVENTOR(S): Toyoshima, Shigeshi; Kanao, Seizo; Toyoda, Takeshi; Suyama, Tadashi

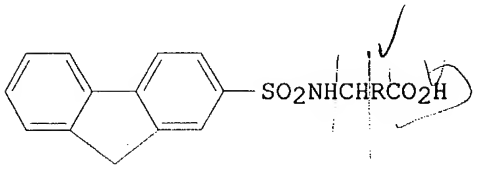
Searched by Barb O'Bryen, STIC 571-272-2518

PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: Ger. Offen., 10 pp. Division of Ger. Offen. 2,043,933.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2065966	B2	19780302	DE 1970-2065966	19700904
DE 2065966	C3	19781116		
NL 7013043	A	19710309	NL 1970-13043	19700903
US 3801633	A	19740402	US 1970-69993	19700904
GB 1269908	A	19720406	GB 1970-1269908	19700907
GB 1288020	A	19720906	GB 1970-1288020	19700907
US 3850968	A	19741126	US 1973-340644	19730313

PRIORITY APPLN. INFO.: JP 1969-70716 19690906
US 1970-69993 19700904

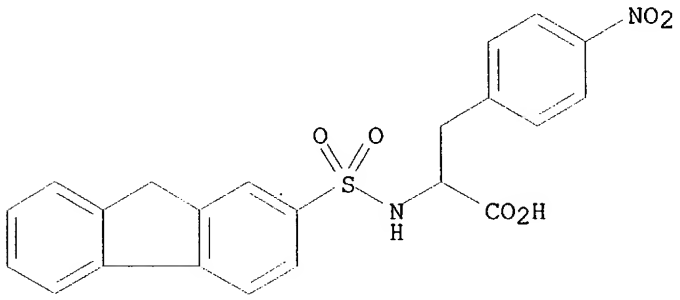
ED Entered STN: 12 May 1984
GI



AB Fluorene derivs. I [R = Me (L), CHMe2(L), 4-O2NC6H4CH2 (DL)], useful against influenza virus (extensive data given), were prepd. by Schotten-Baumann reaction of L-alanine, L-valine, or DL-4-O2NC6H4CH2CH(NH2)CO2H with 2-fluorenesulfonyl chloride. Also prepd. were the Na, K, NH4, and HOCH2CH2NH2 salts of L-I (R = Me).

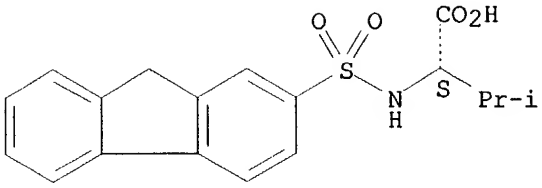
IT **32925-03-4P 32945-11-2P 56211-81-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and virucidal activity of)

RN 32925-03-4 CAPLUS
CN Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)



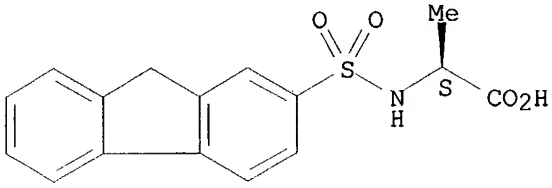
RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



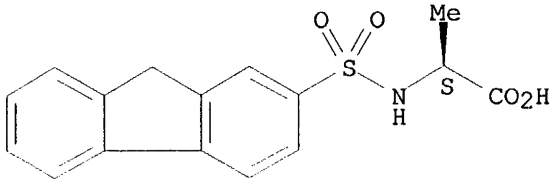
RN 56211-81-5 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **65175-43-1P 65175-44-2P 65175-45-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 65175-43-1 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)-, monosodium salt (9CI) (CA INDEX NAME)

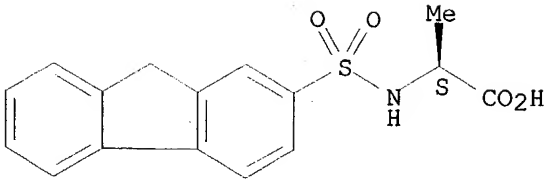
Absolute stereochemistry.



● Na

RN 65175-44-2 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)-, monoammonium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



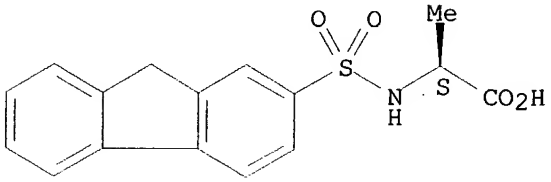
● NH_3

RN 65175-45-3 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 56211-81-5
CMF C16 H15 N O4 S

Absolute stereochemistry.



CM 2

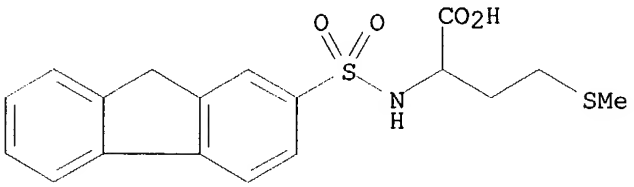
CRN 141-43-5
CMF C2 H7 N O

$H_2N-CH_2-CH_2-OH$

L11 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:30073 CAPLUS
DOCUMENT NUMBER: 86:30073
TITLE: Amino acid derivatives
INVENTOR(S): Toyoshima, Shigeshi; Kanao, Seizo; Toyoda, Takeshi; Suyama, Tadashi; Shimizu, Akira
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp. Division of Japan. Kokai 73 28,612.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

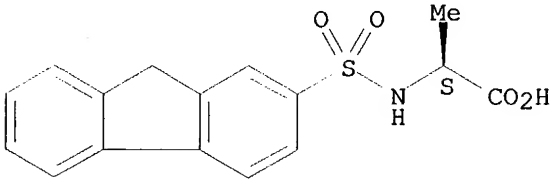
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 51105048	A2	19760917	JP 1976-14276	19760212

JP 55004740 B4 19800131
PRIORITY APPLN. INFO.: JP 1976-14276 19760212
ED Entered STN: 12 May 1984
AB Amino acid derivs. were prepd. by treating phenylalanine or tryptophan with .beta.-naphthalenesulfonyl halides in the presence of alkali or by treating alanine, isoleucine, tryptophan, methionine, or phenylglycine with 2-fluorenesulfonyl halides in the presence of alkali. The products had antiinfluenza viral and anticarcinogenic activities (data given in mice). The LD50 were 750-1500 mg/kg (i.v.) in mice. Thus, 4.5 g .beta.-naphthalenesulfonyl chloride in Et2O was added to a mixt. of 3.3 g phenylalanine, 10 ml 10% aq. NaOH, and 50 ml 10% aq. Na2CO3 over 20 min at room temp. and the mixt. was stirred for 3 h to give 54% N-.beta.-naphthalenesulfonyl-L-phenylalanine. N-.beta.-naphthalenesulfonyl-DL-tryptophan, N-2-fluorenesulfonyl-L-alanine, N-2-fluorenesulfonyl-L-isoleucine, N-2-fluorenesulfonyl-DL-tryptophan, N-2-fluorenesulfonyl-DL-methionine, and N-2-fluorenesulfonyl-DL-phenylglycine were also prepd.
IT **40356-16-9P 56211-81-5P 56211-82-6P**
56211-83-7P 61447-77-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antivirual and anticarcinogenic activity of)
RN 40356-16-9 CAPLUS
CN Methionine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)



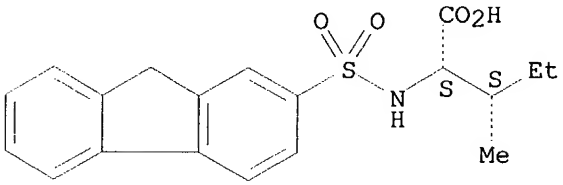
RN 56211-81-5 CAPLUS
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



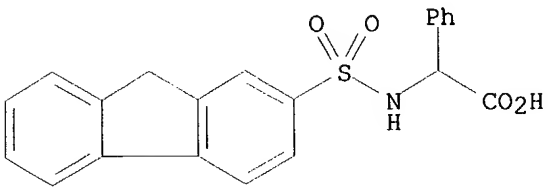
RN 56211-82-6 CAPLUS
CN L-Isoleucine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

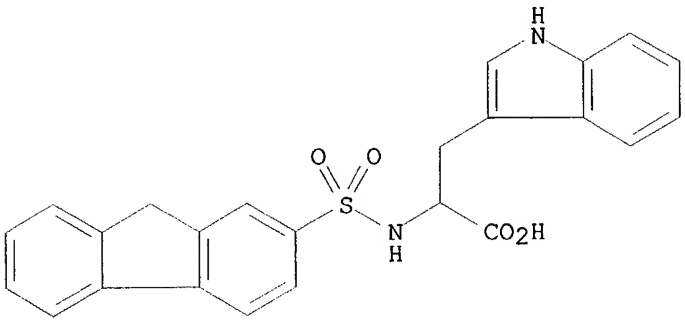


RN 56211-83-7 CAPLUS

CN Benzeneacetic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 61447-77-6 CAPLUS
CN Tryptophan, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)



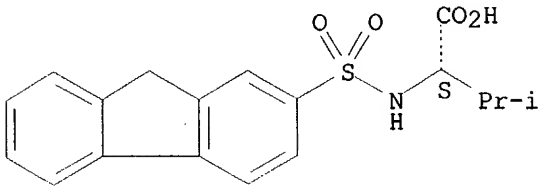
L11 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:83639 CAPLUS
DOCUMENT NUMBER: 80:83639
TITLE: N-2-Fluorenesulfonylamino acids
INVENTOR(S): Toshima, Shigeru; Toyota, Takeshi; Suyama, Tadashi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc.
SOURCE: Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 48039932	B4	19731128	JP 1970-100902	19701116

PRIORITY APPLN. INFO.: JP 1970-100902 19701116
ED Entered STN: 12 May 1984
AB Condensation of 2-fluorenesulfonyl chloride (I) with .beta.-alanine (II), L-valine (III), or 4-nitrophenylalanine (IV) in the presence of an alkali gave the corresponding title compds., which have anti-influenza activity and low toxicity. Thus, a mixt. of 20 g 2-fluorenesulfonic acid, 35 g PCl5, and 90 ml PCl3 was refluxed 1 hr in a water bath and the reaction mixt. poured into ice-water to give 16.5 g I. To a soln. of 1.8 g II in 10% NaOH were added 5.3 g I in acetone and 5.3 g of Na2CO3 in H2O, alternately, at room temp. with stirring in 30 min and the soln. stirred 30 min at 50.degree. and 3 hr at room temp. to give 87% N-2-fluorenesulfonylalanine. Similarly, III and IV gave 70 and 57%, resp., of the corresponding condensates.
IT **32945-11-2P 52525-95-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

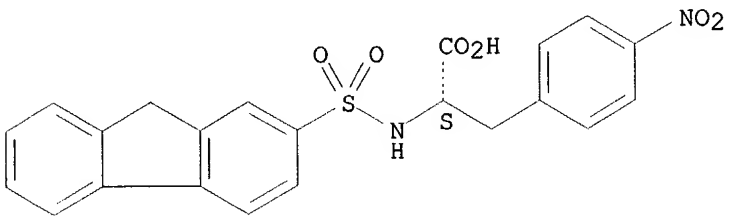
RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 52525-95-8 CAPLUS
CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



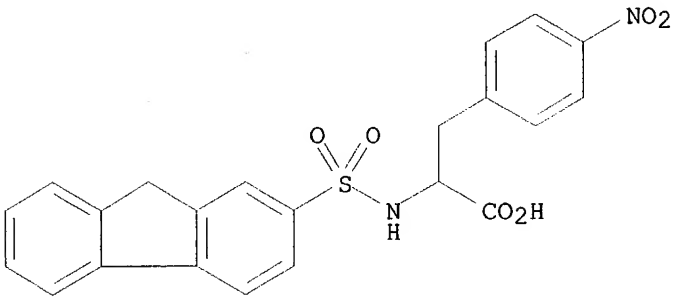
L11 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1971:421010 CAPLUS
DOCUMENT NUMBER: 75:21010
TITLE: Antiviral N-acyl-, sulfonyl-, and alkylamino acids
INVENTOR(S): Toyoshima, Shigeshi; Kanao, Saizu; Toyoda, Takeshi; Suyama, Tadashi
PATENT ASSIGNEE(S): Ajinomoto Co. Inc.
SOURCE: Ger. Offen., 21 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2043933	A	19710311	DE 1970-2043933	19700904
DE 2043933	B2	19770908		
NL 7013043	A	19710309	NL 1970-13043	19700903
US 3801633	A	19740402	US 1970-69993	19700904
GB 1269908	A	19720406	GB 1970-1269908	19700907
GB 1288020	A	19720906	GB 1970-1288020	19700907
US 3850968	A	19741126	US 1973-340644	19730313

PRIORITY APPLN. INFO.: JP 1969-70716 19690906
US 1970-69993 19700904

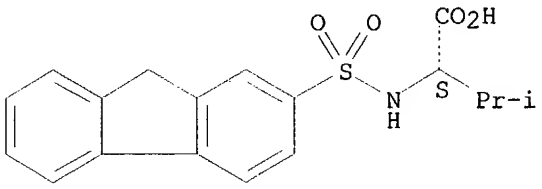
ED Entered STN: 12 May 1984
AB The title compds., effective against influenza A-2 and type B Lee strain viruses, were prepd. Thus, .alpha.-naphthylacetic acid and PCl3 gave .alpha.-naphthylacetyl chloride (I). Reaction of L-leucine with I in aq. NaOH-NaHCO3 yielded 78% N-(.alpha.-naphthylacetyl)-L-leucine. Among 13 title compds. similarly prepd. were N-(carboxymethyl)-L-phenylalanine, N-(p-nitrophenoxyacetyl)-p-nitrophenylalanine, and N-(2-fluorenylsulfonyl)-

.beta.-alanine.
IT **32925-03-4P 32945-11-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 32925-03-4 CAPLUS
CN Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)



RN 32945-11-2 CAPLUS
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 18 OF 25 USPATFULL on STN
ACCESSION NUMBER: 2004:39403 USPATFULL
TITLE: Method of inhibiting matrix metalloproteinases
INVENTOR(S): O'Brien, Patrick Michael, Stockbridge, MI, UNITED STATES
Picard, Joseph Armand, Canton, MI, UNITED STATES
Sliskovic, Drago Robert, Saline, MI, UNITED STATES
White, Andrew David, Pinckney, MI, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004029945	A1	20040212
APPLICATION INFO.:	US 2003-603677	A1	20030625 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2002-162518, filed on 4 Jun 2002, GRANTED, Pat. No. US 6620835 Division of Ser. No. US 1999-254384, filed on 2 Mar 1999, GRANTED, Pat. No. US 6624177 A 371 of International Ser. No. WO 1997-US14859, filed on 22 Aug 1997, PENDING

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25062P	19960904 (60)
	US 1997-55713P	19970807 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR, MI, 48105

Searched by Barb O'Bryen, STIC 571-272-2518

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 1256
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method of inhibiting matrix metalloproteinases using compounds that are dibenzofuran sulfonamide derivatives having the Formula I ##STR1##

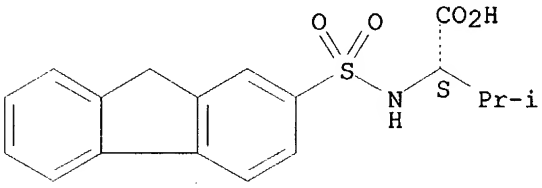
More particularly, the present invention relates to a method of treating diseases in which matrix metalloproteinases are involved such as multiple sclerosis, atherosclerotic plaque rupture, restenosis, aortic aneurism, heart failure, periodontal disease, corneal ulceration, burns, decubital ulcers, chronic ulcers or wounds, cancer metastasis, tumor angiogenesis, arthritis, or other autoimmune or inflammatory diseases dependent upon tissue invasion by leukocytes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **32945-11-2P 204440-69-7P 204440-70-0P 204440-71-1P 204440-91-5P 204440-92-6P 204440-93-7P**
(prepn. of dibenzofuransulfonamides as matrix metalloproteinase inhibitors and their therapeutic uses)

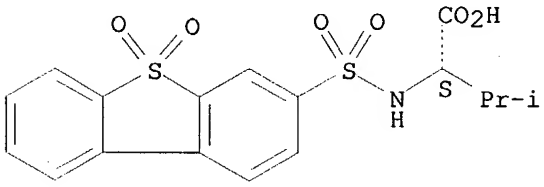
RN 32945-11-2 USPATFULL
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



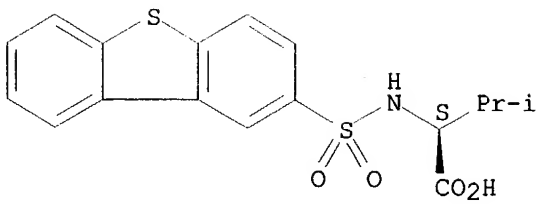
RN 204440-69-7 USPATFULL
CN L-Valine, N-[(5,5-dioxido-3-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



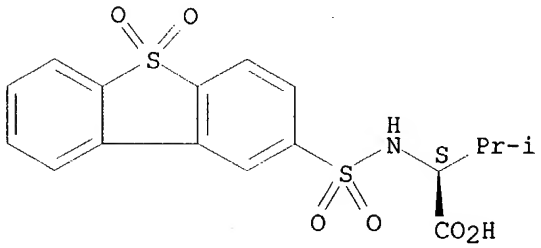
RN 204440-70-0 USPATFULL
CN L-Valine, N-(2-dibenzothienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



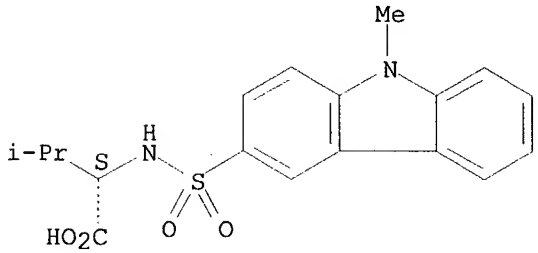
RN 204440-71-1 USPATFULL
CN L-Valine, N-[(5,5-dioxido-2-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



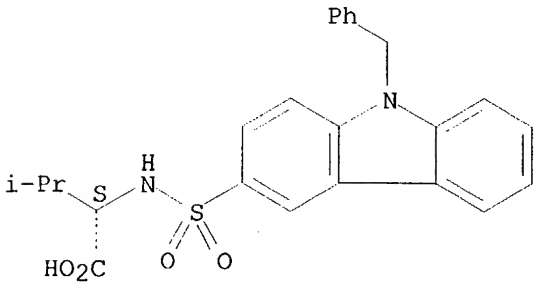
RN 204440-91-5 USPATFULL
CN L-Valine, N-[(9-methyl-9H-carbazol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



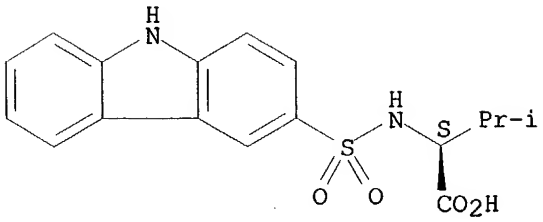
RN 204440-92-6 USPATFULL
CN L-Valine, N-[[9-(phenylmethyl)-9H-carbazol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204440-93-7 USPATFULL
CN L-Valine, N-(9H-carbazol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 19 OF 25 USPATFULL on STN
ACCESSION NUMBER: 2003:45353 USPATFULL
TITLE: Method of inhibiting matrix metalloproteinases
INVENTOR(S): O'Brien, Patrick Michael, Stockbridge, MI, UNITED STATES
Picard, Joseph Armand, Canton, MI, UNITED STATES
Sliskovic, Drago Robert, Saline, MI, UNITED STATES
White, Andrew David, Pinckney, MI, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 20030032665	A1	20030213
	US 6620835	B2	20030916
APPLICATION INFO.:	US 2002-162518	A1	20020604 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 1999-254384, filed on 2 Mar 1999, PENDING A 371 of International Ser. No. WO 1997-US14859, filed on 22 Aug 1997, UNKNOWN

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25062P	19960904 (60)
	US 1997-55713P	19970807 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Andrew J. Leon, Warner-Lambert Company, 2800 Plymouth Road, Ann Arbor, MI, 48105
NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 1445
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

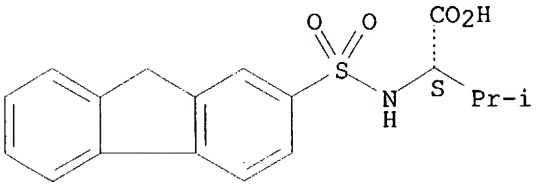
AB The present invention relates to a method of inhibiting matrix metalloproteinases using compounds that are dibenzofuran sulfonamide derivatives having the Formula I ##STR1##

More particularly, the present invention relates to a method of treating diseases in which matrix metalloproteinases are involved such as multiple sclerosis, atherosclerotic plaque rupture, restenosis, aortic aneurism, heart failure, periodontal disease, corneal ulceration, burns, decubital ulcers, chronic ulcers or wounds, cancer metastasis, tumor angiogenesis, arthritis, or other autoimmune or inflammatory diseases dependent upon tissue invasion by leukocytes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **32945-11-2P 204440-69-7P 204440-70-0P 204440-71-1P 204440-91-5P 204440-92-6P 204440-93-7P**
(prepn. of dibenzofuransulfonamides as matrix metalloproteinase inhibitors and their therapeutic uses)
RN 32945-11-2 USPATFULL

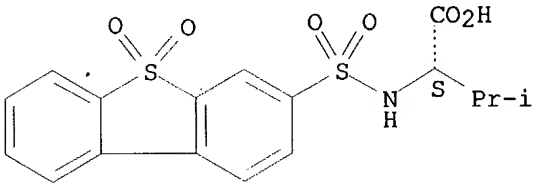
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



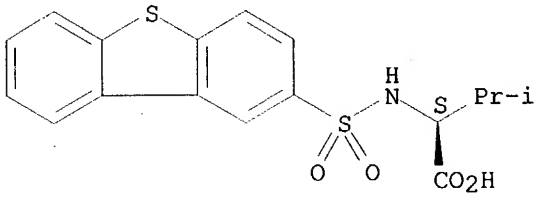
RN 204440-69-7 USPATFULL
CN L-Valine, N-[(5,5-dioxido-3-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



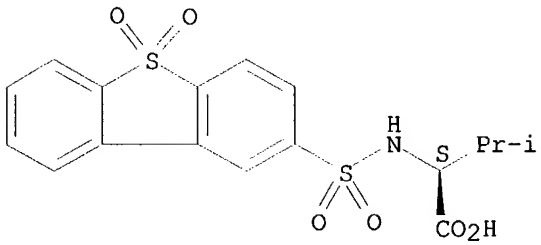
RN 204440-70-0 USPATFULL
CN L-Valine, N-(2-dibenzothienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



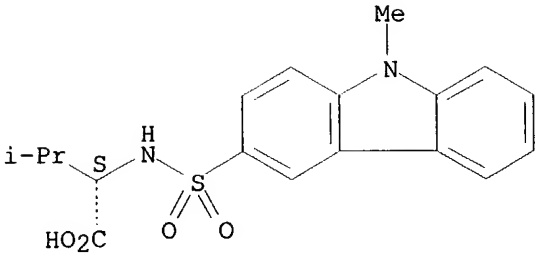
RN 204440-71-1 USPATFULL
CN L-Valine, N-[(5,5-dioxido-2-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



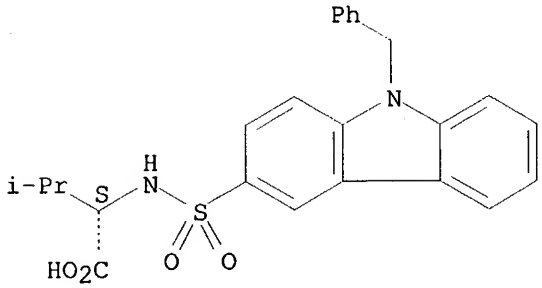
RN 204440-91-5 USPATFULL
CN L-Valine, N-[(9-methyl-9H-carbazol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



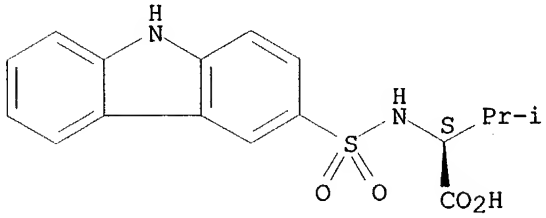
RN 204440-92-6 USPATFULL
CN L-Valine, N-[[9-(phenylmethyl)-9H-carbazol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204440-93-7 USPATFULL
CN L-Valine, N-(9H-carbazol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 20 OF 25 USPATFULL on STN

ACCESSION NUMBER:	2003:253637 USPATFULL
TITLE:	Matrix metalloproteinase inhibitors and their therapeutic uses
INVENTOR(S):	O'Brien, Patrick Michael, Stockbridge, MI, United States Picard, Joseph Armand, Canton, MI, United States Sliskovic, Drago Robert, Saline, MI, United States White, Andrew David, Pinckney, MI, United States
PATENT ASSIGNEE(S):	Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6624177	B1	20030923	
	WO 9809934		19980312	
APPLICATION INFO.:	US 1999-254384		19990302	(9)

WO 1997-US14859 19970822
19990302 PCT 371 date

	NUMBER	DATE
PRIORITY INFORMATION:	US 1996-25062P	19960904 (60)

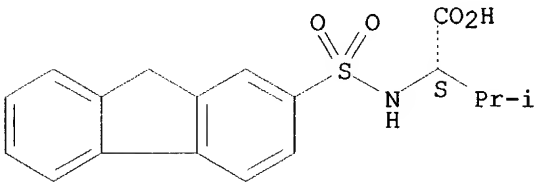
DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Shah, Mukund J.
ASSISTANT EXAMINER: McKenzie, Thomas
LEGAL REPRESENTATIVE: Leon, Andrew J., Crissey, Todd M.
NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)
LINE COUNT: 1249
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method of inhibiting matrix metalloproteinases using compounds that are dibenzofuran sulfonamide derivatives having the Formula I ##STR1##

More particularly, the present invention relates to a method of treating diseases in which matrix melatoproteinases are involved such as multiple sclerosis, atherosclerotic plaque rupture, restenosis, aortic aneurism, heart failure, periodontal disease, corneal ulceration, burns, decubital ulcers, chronic ulcers or wounds, cancer metastasis, tumor angiogenesis, arthritis, or other autoimmune or inflammatory diseases dependent upon tissue invasion by leukocytes.

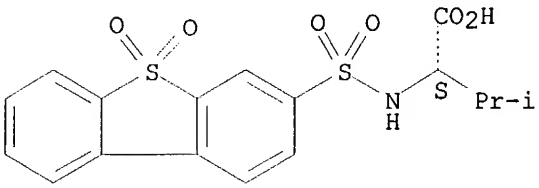
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **32945-11-2P 204440-69-7P 204440-70-0P 204440-71-1P 204440-91-5P 204440-92-6P 204440-93-7P**
(prepn. of dibenzofuransulfonamides as matrix metalloproteinase inhibitors and their therapeutic uses)
RN 32945-11-2 USPATFULL
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



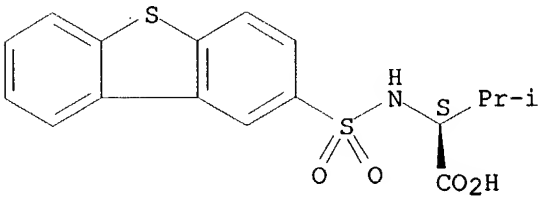
RN 204440-69-7 USPATFULL
CN L-Valine, N-[(5,5-dioxido-3-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



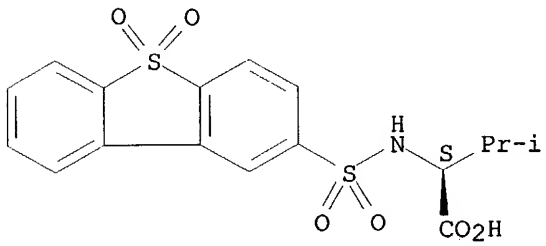
RN 204440-70-0 USPATFULL
CN L-Valine, N-(2-dibenzothienylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



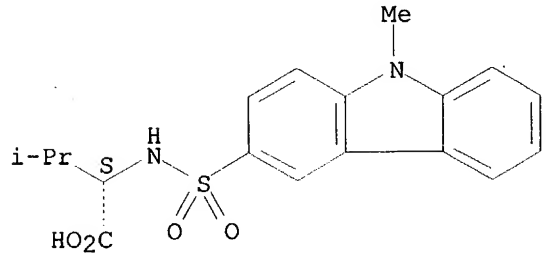
RN 204440-71-1 USPATFULL
CN L-Valine, N-[(5,5-dioxido-2-dibenzothienyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



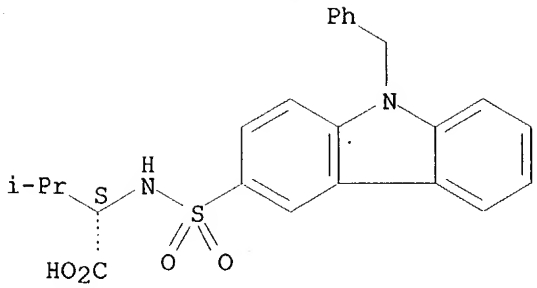
RN 204440-91-5 USPATFULL
CN L-Valine, N-[(9-methyl-9H-carbazol-3-yl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



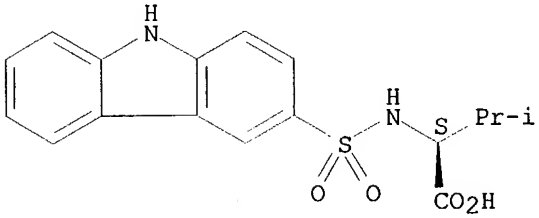
RN 204440-92-6 USPATFULL
CN L-Valine, N-[[9-(phenylmethyl)-9H-carbazol-3-yl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204440-93-7 USPATFULL
CN L-Valine, N-(9H-carbazol-3-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 21 OF 25 USPATFULL on STN
ACCESSION NUMBER: 2001:163339 USPATFULL
TITLE: Dibenzofuran sulfonamide matrix metalloproteinase inhibitors
INVENTOR(S): Picard, Joseph Armand, Canton, MI, United States
Sliskovic, Drago Robert, Saline, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 6294674	B1	20010925	
	WO 9809957		19980312	
APPLICATION INFO.:	US 1999-254403		19990302	(9)
	WO 1997-US15444		19970902	
			19990302	PCT 371 date
			19990302	PCT 102(e) date

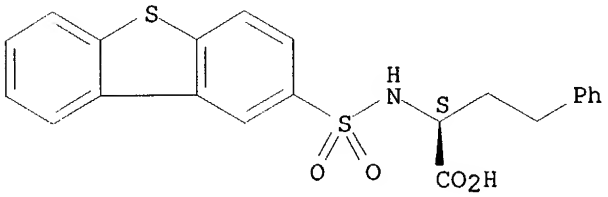
	NUMBER	DATE	
PRIORITY INFORMATION:	US 1996-25063P	19960904	(60)
	US 1997-55714P	19970807	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Stockton, Laura L.
LEGAL REPRESENTATIVE: Ashbrook, Charles W.
NUMBER OF CLAIMS: 1
EXEMPLARY CLAIM: 1
LINE COUNT: 1871
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention relates to compounds of Formula I that inhibit matrix metalloproteinases and to a method of inhibiting matrix metalloproteinases using the compounds. ##STR1##

wherein Q is an un-natural amino acid. More particurlarly, the present invention relates to a method of treating diseases in which matrix metalloproteinases are involved such as multiple sclerosis, atherosclerotic plaque rupture, restenosis, aortic aneurism, heart failure, periodontal disease, corneal ulceration, burns, decubital ulcers, chronic ulcers or wounds, cancer metastasis, tumor angiogenesis, arthiritis, or other autoimmune or inflammatory diseases dependent upon tissue invasion by leukocytes.

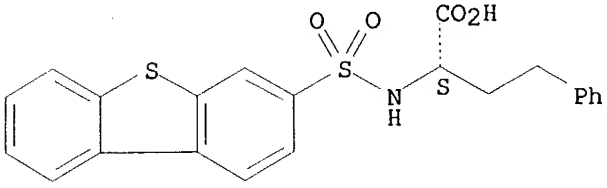
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **204769-50-6P 204769-91-5P 204769-92-6P**
(prepn. of dibenzofuransulfonyl and related amino acids for inhibition of matrix metalloproteinases)
RN 204769-50-6 USPATFULL
CN Benzenebutanoic acid, .alpha.-[(2-dibenzothienylsulfonyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



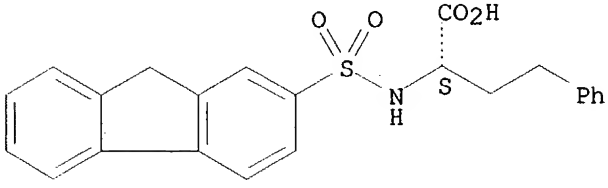
RN 204769-91-5 USPATFULL
CN Benzenebutanoic acid, .alpha.-[(3-dibenzothienylsulfonyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 204769-92-6 USPATFULL
CN Benzenebutanoic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 22 OF 25 USPATFULL on STN
ACCESSION NUMBER: 1999:106456 USPATFULL
TITLE: Method for treating and preventing heart failure and ventricular dilatation

INVENTOR(S): Peterson, Jr., Joseph Thomas, Brighton, MI, United States
Pressler, Milton Lethan, Saline, MI, United States
PATENT ASSIGNEE(S): Warner-Lambert Company, Morris Plains, NJ, United States (U.S. corporation)

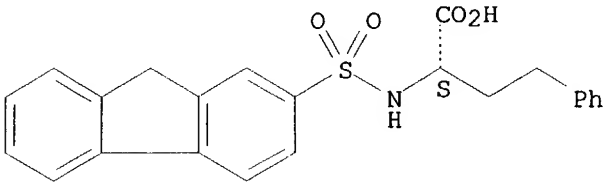
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5948780		19990907	
APPLICATION INFO.:	US 1997-987167		19971208	(8)

	NUMBER	DATE	
PRIORITY INFORMATION:	US 1996-32631P	19961209	(60)

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Henley, III, Raymond
LEGAL REPRESENTATIVE: Ashbrook, Charles W.
NUMBER OF CLAIMS: 21
EXEMPLARY CLAIM: 1
LINE COUNT: 4703
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Matrix metalloproteinase inhibitors are useful for preventing and treating heart failure, and ventricular dilatation in mammals.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT **204769-92-6**
(use of matrix metalloproteinase inhibitors in treating heart failure and ventricular dilation)
RN 204769-92-6 USPATFULL
CN Benzenebutanoic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]-, (.alpha.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 23 OF 25 USPATFULL on STN
ACCESSION NUMBER: 75:61131 USPATFULL
TITLE: N-ethylcarbaminomethylisoleucine
INVENTOR(S): Toyoshima, Shigeshi, Tokyo, Japan
Kanao, Seizo, Tokyo, Japan
Toyoda, Takeshi, Sagamihara, Japan
Suyama, Tadashi, Kawasaki, Japan
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 3919291		19751111	
APPLICATION INFO.:	US 1974-451209		19740314	(5)
RELATED APPLN. INFO.:	Division of Ser. No. US 1972-281042, filed on 16 Aug 1972, now patented, Pat. No. US 3845097 which is a continuation-in-part of Ser. No. US 1970-69993, filed on 4 Sep 1970, now patented, Pat. No. US 3801633			

	NUMBER	DATE
PRIORITY INFORMATION:	JP 1971-63250	19710819
	JP 1971-63252	19710819
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	Granted	
PRIMARY EXAMINER:	Raymond, Richard L.	
LEGAL REPRESENTATIVE:	Berman, Hans	
NUMBER OF CLAIMS:	1	
EXEMPLARY CLAIM:	1	
LINE COUNT:	580	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The following N-substituted amino acids have been found to combat infection with influenza virus, to counteract inflammation, or to have anti-tumor effects in mice while being relatively non-toxic in effective amounts:

N-.beta.-naphthylaminoethylleucine,

N-.beta.-naphthylaminomethylurethane,

N-furfurylaminoethylphenylalanine,

N-furfuryl-4-nitrophenylalanine,

N-benzylvaline,

N-2-fluorenesulfonylmethionine,

N-2-fluorenesulfonylphenylalanine,

N-lauroylleucine,

N-ethylcarbaminomethylisoleucine,

N-.beta.-naphthylaminomethylthreonine,

N-9-fluorenylacetylphenylalanine,

N-myristoylisoleucine,

N-.beta.-naphthalenesulfonyltryptophan, and

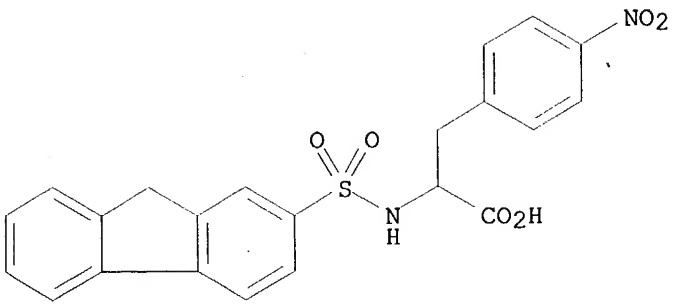
N-propionylvaline.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **32925-03-4P 32945-11-2P**
(prepn. of)

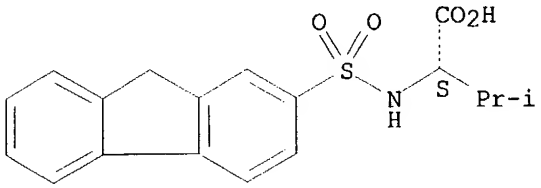
RN 32925-03-4 USPATFULL

CN Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)



RN 32945-11-2 USPATFULL
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L11 ANSWER 24 OF 25 TOXCENTER COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1977:68779 TOXCENTER
COPYRIGHT: Copyright 2004 ACS
DOCUMENT NUMBER: CA086050030073U
TITLE: Amino acid derivatives
AUTHOR(S): ~~Toyoshima, Shigeshi;~~ Kanao, Seizo; Toyoda, Takeshi; Suyama, Tadashi; Shimizu, Akira
CORPORATE SOURCE: ASSIGNEE: Ajinomoto Co., Inc.
PATENT INFORMATION: JP 76105048 17 Sep 1976
SOURCE: (1976) Jpn. Kokai Tokkyo Koho, 5 pp. Division of Japan. Kokai 73 28,612.
CODEN: JKXXAF.
COUNTRY: JAPAN
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 1977:30073
LANGUAGE: Japanese
ENTRY DATE: Entered STN: 20011116
Last Updated on STN: 20021210

ABSTRACT:
Amino acid derivs. were prepd. by treating phenylalanine or tryptophan with .beta.-naphthalenesulfonyl halides in the presence of alkali or by treating alanine, isoleucine, tryptophan, methionine, or phenylglycine with 2-fluorenesulfonyl halides in the presence of alkali. The products had antiinfluenza viral and anticarcinogenic activities (data given in mice). The LD50 were 750-1500 mg/kg (i.v.) in mice. Thus, 4.5 g .beta.-naphthalenesulfonyl chloride in Et2O was added to a mixt. of 3.3 g phenylalanine, 10 ml 10% aq. NaOH, and 50 ml 10% aq. Na2CO3 over 20 min at room temp. and the mixt. was stirred for 3 h to give 54% N-.beta.-naphthalenesulfonyl-L-phenylalanine. N-.beta.-naphthalenesulfonyl-DL-tryptophan, N-2-fluorenesulfonyl-L-alanine, N-2-fluorenesulfonyl-L-isoleucine,

N-2-fluorenesulfonyl-DL-tryptophan, N-2-fluorenesulfonyl-DL-methionine, and N-2-fluorenesulfonyl-DL-phenylglycine were also prepd.
CLASSIFICATION CODE: 34-2
SUPPLEMENTARY TERMS: Miscellaneous Descriptors
amino acid naphthylsulfonyl fluorenesulfonyl; virucide
naphthylsulfonyl amino acid; neoplasm inhibitor
naphthylsulfonyl amino acid
REGISTRY NUMBER: 63-91-2; **40356-16-9**; 40356-23-8; 55953-52-1;
56211-81-5; **56211-82-6**;
56211-83-7; **61447-77-6**; 93-11-8

L11 ANSWER 25 OF 25 TOXCENTER COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:65201 TOXCENTER
COPYRIGHT: Copyright 2004 ACS
DOCUMENT NUMBER: CA08015083639R
TITLE: N-2-Fluorenesulfonylamino acids
AUTHOR(S): Tōshima, Shigeru; Toyota, Takeshi; Suyama, Tadashi
CORPORATE SOURCE: ASSIGNEE: Ajinomoto Co., Inc.
PATENT INFORMATION: JP 7339932 28 Nov 1973
SOURCE: (1973) Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD.
DOCUMENT TYPE: Patent
FILE SEGMENT: CAPLUS
OTHER SOURCE: CAPLUS 1974:83639
LANGUAGE: Japanese
ENTRY DATE: Entered STN: 20011116
Last Updated on STN: 20021218
ABSTRACT:
Condensation of 2-fluorenesulfonyl chloride (I) with .beta.-alanine (II), L-valine (III), or 4-nitrophenylalanine (IV) in the presence of an alkali gave the corresponding title compds., which have anti-influenza activity and low toxicity. Thus, a mixt. of 20 g 2-fluorenesulfonic acid, 35 g PCl5, and 90 ml PCl3 was refluxed 1 hr in a water bath and the reaction mixt. poured into ice-water to give 16.5 g I. To a soln. of 1.8 g II in 10% NaOH were added 5.3 g I in acetone and 5.3 g of Na2CO3 in H2O, alternately, at room temp. with stirring in 30 min and the soln. stirred 30 min at 50.degree. and 3 hr at room temp. to give 87% N-2-fluorenesulfonylalanine. Similarly, III and IV gave 70 and 57%, resp., of the corresponding condensates.
CLASSIFICATION CODE: 34-2
SUPPLEMENTARY TERMS: Miscellaneous Descriptors
amino acid fluorenylsulfonyl influenza
REGISTRY NUMBER: 52525-94-7; 13354-17-1; 32869-90-2; **32945-11-2**;
52525-95-8; 107-95-9; 949-99-5; 72-18-4

=> fil reg
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STRUCTURE FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0
DICTIONARY FILE UPDATES: 23 MAR 2004 HIGHEST RN 666817-09-0

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2004

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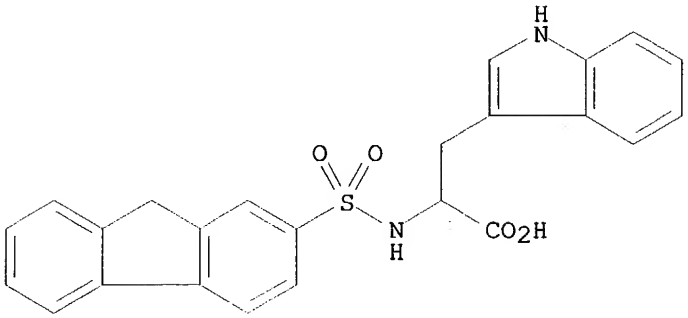
Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=> s 32945-11-2 or 52525-95-8 or 56211-81-5 or 56211-82-6 or 56211-83-7 or 61447-77-6 or 40356-16-9

1 32945-11-2
(32945-11-2/RN)
1 52525-95-8
(52525-95-8/RN)
1 56211-81-5
(56211-81-5/RN)
1 56211-82-6
(56211-82-6/RN)
1 56211-83-7
(56211-83-7/RN)
1 61447-77-6
(61447-77-6/RN)
1 40356-16-9
(40356-16-9/RN)
L12 7 32945-11-2 OR 52525-95-8 OR 56211-81-5 OR 56211-82-6 OR 56211-83-7 OR 61447-77-6 OR 40356-16-9

=> d ide l12 1-7; fil hom

L12 ANSWER 1 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **61447-77-6** REGISTRY
CN Tryptophan, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN DL-Tryptophan, N-(9H-fluoren-2-ylsulfonyl)-
OTHER NAMES:
CN N-2-Fluorenesulfonyl-DL-tryptophan
MF C24 H20 N2 O4 S
LC STN Files: CA, CAPLUS, TOXCENTER



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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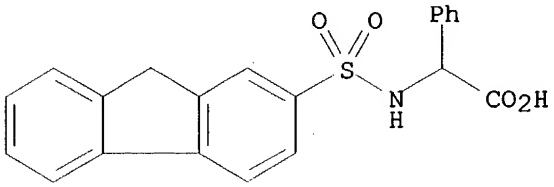
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 2 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **56211-83-7** REGISTRY
CN Benzeneacetic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:

CN Benzeneacetic acid, .alpha.-[(9H-fluoren-2-ylsulfonyl)amino]-, (.+-.)-
OTHER NAMES:
CN N-2-Fluorenesulfonyl-DL-phenylglycine
MF C21 H17 N O4 S
LC STN Files: CA, CAPLUS, TOXCENTER



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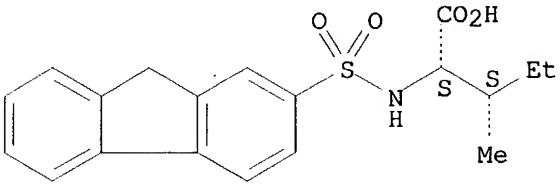
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 3 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **56211-82-6** REGISTRY
CN L-Isoleucine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-2-Fluorenesulfonyl-L-isoleucine
FS STEREOSEARCH
MF C19 H21 N O4 S
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



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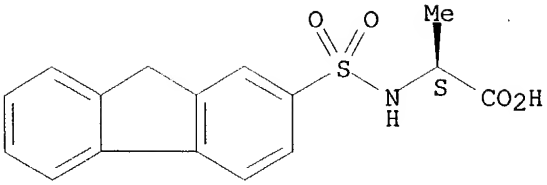
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 4 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **56211-81-5** REGISTRY
CN L-Alanine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-2-Fluorenesulfonyl-L-alanine
FS STEREOSEARCH
MF C16 H15 N O4 S
CI COM
LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

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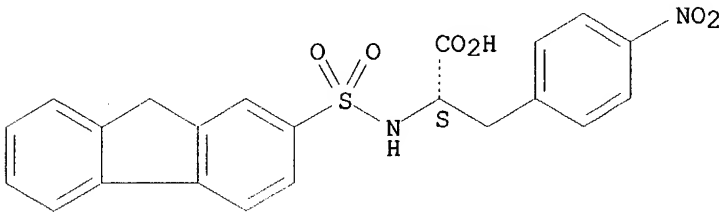
5 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 5 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **52525-95-8** REGISTRY
CN L-Phenylalanine, N-(9H-fluoren-2-ylsulfonyl)-4-nitro- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN N-(Fluoren-2-ylsulfonyl)-3-(4-nitrophenyl)-L-alanine
FS STEREOSEARCH
MF C22 H18 N2 O6 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER
(*File contains numerically searchable property data)

Absolute stereochemistry.



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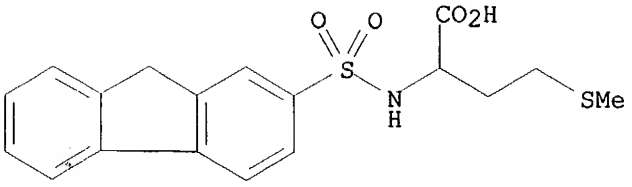
2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 6 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **40356-16-9** REGISTRY
CN Methionine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN DL-Methionine, N-(9H-fluoren-2-ylsulfonyl)-
OTHER NAMES:
CN N-2-Fluorenesulfonyl-DL-methionine
MF C18 H19 N O4 S2
LC STN Files: CA, CAPLUS, IFICDB, IFIPAT, IFIUDB, TOXCENTER

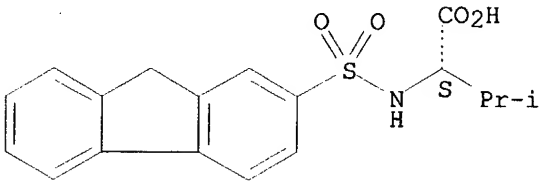


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

3 REFERENCES IN FILE CA (1907 TO DATE)
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L12 ANSWER 7 OF 7 REGISTRY COPYRIGHT 2004 ACS on STN
RN **32945-11-2** REGISTRY
CN L-Valine, N-(9H-fluoren-2-ylsulfonyl)- (9CI) (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN Valine, N-(fluoren-2-ylsulfonyl)-, L- (8CI)
OTHER NAMES:
CN N-(Fluoren-2-ylsulfonyl)-L-valine
CN N-2-Fluorenesulfonyl-L-valine
FS STEREOSEARCH
MF C18 H19 N O4 S
LC STN Files: BEILSTEIN*, CA, CAPLUS, CASREACT, TOXCENTER, USPAT2, USPATFULL
(*File contains numerically searchable property data)

Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

6 REFERENCES IN FILE CA (1907 TO DATE)
6 REFERENCES IN FILE CAPLUS (1907 TO DATE)

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